1 ANSWERS

=> d L1 HAS NO ANSWERS L1 STR

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Structure attributes must be viewed using STN Express query preparation.

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- ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN L2
- 863203-44-5 REGISTRY RN
- ED Entered STN: 15 Sep 2005
- CN Benzenepropanoic acid, β-[[[2,3-dimethyl-1-[(4-methylphenyl)sulfonyl]-2-aziridinyl]carbonyl]amino]-α-hydroxy-, (2aR, 4s, 4as, 6R, 9s, 11s, 12s, 12aR, 12bs) -6, 12b-bis (acetyloxy) -12-(benzoyloxy) -2a, 3, 4, 4a, 5, 6, 9, 10, 11, 12, 12a, 12b-dodecahydro-4, 11-dihydroxy-4a, 8, 13, 13tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (aR, BS) - (CA INDEX NAME) FS
 - STEREOSEARCH
- MF C52 H60 N2 O16 S
- SR CA LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry.

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2 REFERENCES IN FILE CA (1907 TO DATE)
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2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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FILE 'CAPLUS' ENTERED AT 11:49:32 ON 13 SEP 2008

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FILE COVERS 1907 - 13 Sep 2008 VOL 149 ISS 12 FILE LAST UPDATED: 12 Sep 2008 (20080912/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

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2 L2

=> d 1-2 bib abs hitstr

- ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
- ΑN 2005:979631 CAPLUS <<LOGINID::20080913>>
- 143:267122 DN
- Semi-synthesis of taxane intermediates and aziridine analogs and their conversion to paclitaxel and docetaxel
- IN Naidu, Ragina
- PA Phytogen Life Sciences Inc., Can.; Phytogen Inc.
- SO PCT Int. Appl., 37 pp.
- CODEN: PIXXD2
- Patent
- LA English
- FAN.CNT 2

PATENT NO.

KIND DATE APPLICATION NO. DATE

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WO 2005082875
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    CASREACT 143:267122; MARPAT 143:267122
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Ι

TI

- AB A process is provided for the semi-synthesis of taxane intermediates I [R = H, O-protecting group] and aziridine analogs II of cephalomannine and intermediates of baccatin III, and the conversion of such intermediates and analogs to paclitaxel and docetaxel. One process comprises: (a) conversion of the side chain of cephalomannine to an aziridine; (b) hydrolysis of the side chain. Another process comprises: (a) preparation of N-tosyl-3-phenylaziridine-3-carbonyl chloride or 2-acetoxy-3-phenyl-3- (tosylamino)propionyl chloride from cinnamoyl chloride; (b) acylation of 7-0-protected baccatin III by the carbonyl chlorides; and (c) acetolysis followed by hydrolysis of the former or hydrolysis of the latter intermediate. A third process comprises: (a) epoxidn. of the side chain of cephalomannine; (b) azidolysis of the side chain epoxide; (c) hydrolysi of the side chain.
- IT 863203-44-5DP, 7,2'-O-derivs.

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and basic hydrolysis of; semi-synthesis of taxane intermediates and aziridine analogs and their conversion to paclitaxel and docetaxel)

RN 863203-44-5 CAPLUS

CN Benzenepropanoic acid, β -[[[2,3-dimethyl-1-[(4-methylphenyl)sulfonyl]-2-aziridinyl]carbonyl]amino]- α -hydroxy-,

(2aR, 4S, 4aS, 6R, 9S, 11S, 12S, 12aR, 12bS)-6, 12b-bis(acetyloxy)-12-(benzoyloxy)-2a, 3, 4, 4a, 5, 6, 9, 10, 11, 12, 12a, 12b-dodecahydro-4, 11-dihydroxy-4a, 8, 13, 13-tetramethyl-5-oxo-7, 11-methano-1H-cyclodeca[3, 4]benz[1, 2-b]oxet-9-ylester, (GR, BS)- (CA INDEX NAME)

Absolute stereochemistry.

- L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2005:963841 CAPLUS <<LOGINID::20080913>>
- DN 143:248536
 - TI Semi-synthesis of taxane intermediates and aziridine analogues and their conversion to paclitaxel and docetaxel
- IN Naidu, Ragina

PA Phytogen Life Sciences Inc., Can. SO U.S. Pat. Appl. Publ., 22 pp. CODEN: USXXCO DT Patent

LA English FAN.CNT 2

| | PATE | | | | | | | DATE | | | | | | | | - | ATE | | |
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| | WO 2 | | | | | | | | | | | | | | | | | | |
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| GI | | | | | | | | | | | | | | | | | | | |

AB A process is provided for the semi-synthesis of taxane intermediates and aziridine analogs (e.g. formula I [R = H, protecting group]) of cephalomannine and baccatin III intermediates, and the conversion of such intermediates and analogs to paclitaxel and docetaxel (no data).

Ι

T 863203-44-5DP, protected RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (semi-synthesis of taxane intermediates and aziridine analogs and their conversion to paclitaxel and docetaxel)

RN 863203-44-5 CAPLUS

CN

Benzenepropanoic acid, $\beta = [[2,3-\dim \exp 1]-1-([4-methylphenyl) \sup fonyl]-2-aziridinyl]carbonyl]amino]-\alpha-hydroxy-(2aR, 4S, 4aS, 6R, 9S, 11S, 12S, 12aR, 12bS)-6, 12b-bis (acetyloxy)-12-(benzoyloxy)-2a, 3, 4, 4a, 5, 68, 9, 01, 11, 12, 12a, 12b-dodecahydro-4, 11-dihydroxy-4a, 8, 13, 13-tetramethyl-5-oxo-7, 11-methano-1H-cyclodeca[3, 4]benz[1, 2-b]oxet-9-ylester. (aR, BS)- (CA INDEX NAME)$

Absolute stereochemistry.

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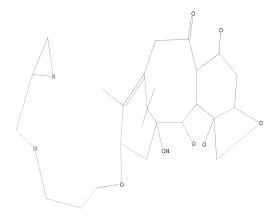
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22:CLASS 21:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS

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L4 STRUCTURE UPLOADED

=> d L4 HAS NO ANSWERS L4 STR



Structure attributes must be viewed using STN Express query preparation.

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L5 1 SEA SSS FUL L4

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L5 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN

RN 863203-44-5 REGISTRY

ED Entered STN: 15 Sep 2005

CN Benzenepropanoic acid, $\beta - [[[2,3-\text{dimethyl-1-}[(4-\text{methylphenyl}) \, \text{sulfonyl}] - 2-\text{aziridinyl} \, [\text{azonyl}] \, \text{amino}[-\alpha-\text{hydroxy}] - (2aR, 45, 4aS, 6R, 9S, 118, 12S, 12AR, 12bS) - 6, 12b-bis (acetyloxy) - 12-(benzoyloxy) - 2a, 3, 4, 4a, 5, 6, 9, 10, 11, 12, 12a, 12b-dodecahydro-4, 11-dihydroxy-4a, 8, 13, 13-tetramethyl-5-oxo-7, 11-methano-1H-cyclodeca [3, 4] benz [1, 2-b] oxet-9-ylester, <math>(\alpha R, \beta S) - (CA \ \text{INDEX} \ \text{NAME})$

FS STEREOSEARCH

MF C52 H60 N2 O16 S

SR CA

LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry.

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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FULL ESTIMATED COST
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FILE COVERS 1907 - 13 Sep 2008 VOL 149 ISS 12 FILE LAST UPDATED: 12 Sep 2008 (20080912/ED)

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=> s 15 2 L5 L6

=> d 1-2 bib abs

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:979631 CAPLUS <<LOGINID::20080913>>

DN 143:267122

TT Semi-synthesis of taxane intermediates and aziridine analogs and their conversion to paclitaxel and docetaxel

IN Naidu, Ragina

PA Phytogen Life Sciences Inc., Can.; Phytogen Inc.

SO PCT Int. Appl., 37 pp. CODEN: PIXXD2

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             AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
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PRAI US 2004-785422
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                                 20050224
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     CASREACT 143:267122; MARPAT 143:267122
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AB A process is provided for the semi-synthesis of taxane intermediates I [R = H, O-protecting group] and aziriddine analogs II of cephalomannine and intermediates of baccatin III, and the conversion of such intermediates and analogs to paclitaxel and docetaxel. One process comprises: (a) conversion of the side chain of cephalomannine to an aziridine; (b) hydrolysis of the side chain. Another process comprises: (a) preparation of N-tosyl-3-phenylaziridine-3-carbonyl chloride or 2-acetoxy-3-phenyl-3-

(tosylamino)propionyl chloride from cinnamoyl chloride; (b) acylation of 7-O-protected baccatin III by the carbonyl chlorides; and (c) acetolysis followed by hydrolysis of the former or hydrolysis of the latter intermediate. A third process comprises: (a) epoxidn. of the side chain of cephalomannine; (b) azidolysis of the side chain epoxide; (c) hydrolysi of the side chain.

- L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2005:963841 CAPLUS <<LOGINID::20080913>> DN 143:248536
- TI Semi-synthesis of taxane intermediates and aziridine analogues and their conversion to paclitaxel and docetaxel
- IN Naidu, Ragina
- PA Phytogen Life Sciences Inc., Can.
- SO U.S. Pat. Appl. Publ., 22 pp.
- CODEN: USXXCO
- DT Patent LA English

LA English FAN.CNT 2

| PAN. | PAT | ENT : | | | | DATE | | | | | | NO. | | | ATE | | |
|------|-----|-------|------|-----|-------|------|------|-----|------|------|------|------|------|-----|------|-----|------|
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Page 12

A process is provided for the semi-synthesis of taxane intermediates and AB aziridine analogs (e.g. formula I [R = H, protecting group]) of cephalomannine and baccatin III intermediates, and the conversion of such intermediates and analogs to paclitaxel and docetaxel (no data).

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=> s taxane and aziridine 3442 TAXANE

9474 AZIRIDINE 6 TAXANE AND AZIRIDINE

=> d 1-6 bib abs hitstr

- ANSWER 1 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN L7
- 2007:1053651 CAPLUS <<LOGINID::20080913>> AN
- DN 147:378345
- ΤI Anticancer activity augmentation dithio compounds, formulations, and methods of use
- IN Hausheer, Frederick H.
- PA Bionumerik Pharmaceuticals, Inc., USA
- SO U.S. Pat. Appl. Publ., 35pp.
- CODEN: USXXCO
- DT Patent LA English

| FAN. | PAT | TENT : | | | | KIN | D | DATE | | | APPL | ICAT | ION | NO. | | D | ATE | |
|------|-----|--------|------|-----|-----|----------|-----|--------------------|-----|-----|--------------|------|-----|-----|-----|-----|----------------|-----|
| PI | US | 2007 | 0219 | 268 | | A1 A2 | | 2007 2007 | | | US 2 WO 2 | | | | | | 0070. 0070. | |
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| | | | | | | | | HR, LK, | | | | | | | | | | |
| | | | RU, | SC, | SD, | SE, | SG, | NG, SK, | SL, | SM, | sv, | | | | | | | |
| | | RW: | AT, | BE, | BG, | CH, | CY, | VN, | DE, | DK, | EE, | | | | | | | |
| | | | ВJ, | CF, | CG, | CI, | CM, | MC, GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, |
| PRAT | US | 2006 | BY, | KG, | KΖ, | MD, | RU, | MZ, TJ, 2006 | TM | 5D, | SL, | 54, | 14, | UG, | ΔM, | ΔW, | AM, | ΑΔ, |

AB The field of the invention comprises pharmaceuticals and pharmaceutical treatments, including e.g. (i) compds. and formulations which cause the augmentation of anticancer activity (i.e., by enhancement of the lethal cytotoxic action in stimulatory [inducing oxidative stress] and/or depletive [decreasing antioxidative capacity] manner) of chemotherapeutic agents, in a selective manner; (ii) methods for administering the anticancer augmentation compds. and formulations; (iii) delivery devices containing the anti-cancer augmentation compds, and formulations; and (iv) methods for using the anticancer augmentation compds., formulations, and devices to treat subjects in need thereof. Compds. of the invention include dithio compds.

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ANSWER 2 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
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AN 2006:1357176 CAPLUS <<LOGINID::20080913>>

DN 146 • 100684

- Pyrazole derivatives as protein kinase modulators, their preparation, ΤI pharmaceutical compositions, and use in therapy
- IN Thompson, Neil Thomas; Boyle, Robert George; Collins, Ian; Garrett, Michelle Dawn; Lyons, John Francis; Thompson, Kyla Merriom
- PA Astex Therapeutics Limited, UK; The Institute of Cancer ResearchRoyal Cancer Hospital; Cancer Research Technology Limited

APPLICATION NO.

DATE

KIND DATE

SO PCT Int. Appl., 226pp.

CODEN: PIXXD2

Patent DT T.A English

FAN.CNT 1 PATENT NO.

| | PAIENI | NO. | | | KIN | D | DAIE | | | APPL. | ICAI | TON . | NO. | | D | AIE | |
|----------|---|--|--|--|--|--|---|---|---------------------------------|---------------------------------|---------------------------------|---------------------------------|---------------------------------|---------------------------------|---------------------------------|---------------------------------|---------------------------------|
| PI | WO 2006 WO 2006 | | | | A2 A3 | | 2006 2007 | | | WO 2 | 006- | GB22 | 97 | | 2 | 0060 | 621 |
| | W: | AE, CN, GE, KR, MW, SC, US, AT, | AG, CO, GH, KZ, MX, SD, UZ, BE, | AL, CR, GM, LA, MZ, SE, VC, BG, | AM, CU, HN, LC, NA, SG, VN, CH, | AT, CZ, HR, LK, NG, SK, ZA, CY, | AU, DE, HU, LR, NI, SL, ZM, | AZ, DK, ID, LS, NO, SM, ZW DE, | DM, IL, LT, NZ, SY, | DZ, IN, LU, OM, TJ, | EC, IS, LV, PG, TM, | EE, JP, LY, PH, TN, | EG, KE, MA, PL, TR, | ES, KG, MD, PT, TT, | FI, KM, MG, RO, TZ, | GB, KN, MK, RS, UA, | GD, KP, MN, RU, UG, |
| PRAI | EP 1933 R: US 2005 | CF, GM, KG, 832 AT, IS, | CG, KE, KZ, BE, IT, | CI, LS, MD, BG, LI, | CM, MW, RU, A2 CH, LT, | GA, MZ, TJ, CY, LU, | GN, NA, TM 2008 | GQ, SD, 0625 DE, MC, | GW, SL, | ML, SZ, EP 2 EE, | MR, TZ, 006- ES, | NE, UG, 7555 FI, | SN, ZM, 97 FR, | TD, ZW, GB, | TG, AM, 2 GR, | BW, AZ, 00600 HU, | GH, BY, |
| OS GT | US 2005 US 2005 US 2005 US 2005 WO 2006 MARPAT | -6933 -6933 -6934 -GB22 | 315P 367P 492P 297 | | P P P | | 2005 2005 2005 2005 2005 2006 | 0623 0623 0623 | | | | | | | | | |

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- The invention relates to pyrazole derivs. of formula I, which are modulators of protein kinase B (PKB) and protein kinase A (PKA). In compds. I, L is C1-7 alkylene containing no more than 5 carbon atoms between R1 and NR2R3 and no more than 4 carbon atoms between E and NR2R3, where one of the carbon atoms may be replaced by O or N; E is mono- or bicyclic carbocyclyl or heterocyclyl; R1 is aryl or heteroaryl; R2 and R3 are independently selected from H, (un) substituted C1-4 alkyl, and (un) substituted C1-4 acyl, or R2 and R3, together with the nitrogen atom to which they are attached, form a cyclic group selected from imidazole and 4- to 7-membered monocyclic heterocyclyl, optionally containing another heteroatom selected from O and N, or NR2R3 and the adjacent carbon atom from L together form a cyano group; R4 is selected from H, halo, cyano, CF3, C1-5 alkyl, and C1-5 alkoxy; and R5 is selected from H, halo, cyano, amino, CF3, C1-5 alkyl, C1-5 alkoxy, (un) substituted carbamoyl, acylamino, and ureido. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound of formula I and a pharmaceutically acceptable carrier, as well as to the use of the compns. for the treatment or prophylaxis of diseases or conditions mediated by PKB or PKA. Also provided are patient packs, pharmaceutical kits and packs and compns. containing the combinations, methods for preparing the combinations and their use
 - in combination therapy as anticancer agents. Addition of 4-chlorophenylmagnesium bromide to 4-bromobenzaldehyde followed by coupling with N-(2-hydroxyethyl)phthalimide gave benzhydryl ether II, which underwent Suzuki coupling with 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1H-pyrazole and cleavage to give amine III. Several compds. of the invention express IC50 values of less than 1 µM to PKA or PKB, or both, e.g., III.
- L7 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2006:275458 CAPLUS <<LOGINID::20080913>>
- DN 144:325290
- TI GLP-1 and exendin derivatives for disease diagnosis and treatment IN Gotthardt, Martin; Behe, Martin; Behr, Thomas; Goeke, Burkhard J.
- PA Transmit Gesellschaft fuer Technologietransfer m.b.H., Germany
- SO Ger. Offen., 17 pp.
- CODEN: GWXXBX
- DT Patent
- LA German FAN.CNT 1

| | PATENT | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION | NO. | | D | ATE | |
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| | WO 2006 | 0242 | | A3 | | 2006 | 1130 | | | | | | | | | | |
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                        A2
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PRAI DE 2004-102004043153 A
    WO 2005-DE1503
                             20050826
                       TAT
    GLP-1 (glucagon-like peptide 1) and exendin-3 and/or exendin-4 derivs, are
    disclosed which may be used for disease diagnosis and treatment. The
    peptides, or fragments thereof, are conjugated to an amine-containing compound
    at the C-terminus, e.g., lysine or ornithine. The amine function is used
    to attach a desired functional moiety, e.g, a metal chelator, a
    fluorophore, a pharmaceutical. The C-terminal conjugation does not
    inhibit binding of the GLP-1 or exendins to their receptors. Thus, a
    complex of In111 with 7-36-GLP-1-Lys(DTPA)NH2, i.e., residues 7-36 of
    human GLP-1 with L-lysinamide attached to the C-terminus and the chelator
    DTPA attached to the s-amino group of lysine, was prepared This
    complex localized to GLP-1 receptor-bearing tumors in mice.
             THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
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    ANSWER 4 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
AN
    2005:979631 CAPLUS <<LOGINID::20080913>>
DN
    143:267122
    Semi-synthesis of taxane intermediates and aziridine
    analogs and their conversion to paclitaxel and docetaxel
IN
    Naidu, Ragina
PA
    Phytogen Life Sciences Inc., Can.; Phytogen Inc.
SO
    PCT Int. Appl., 37 pp.
    CODEN: PIXXD2
    Patent
LA
    English
FAN. CNT 2
    PATENT NO.
                      KIND
                                        APPLICATION NO.
                              DATE
                                                          DATE
    WO 2005082875
                       A2 20050909 WO 2005-US5953
                                                         20050224
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        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
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NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RN: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,

EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 20050192445 20050901 US 2004-790622 20040301 A1 CA 2598707 A1 20050909 CA 2005-2598707 20050224 EP 1732911 A2 20061220 EP 2005-723708 20050224 AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR CN 1942458 Α 20070404 CN 2005-80008412 20050224 US 20080033189 Α1 20080207 US 2007-590647 20070720 PRAI US 2004-785422 A 20040224 US 2004-790622 A 20040301 WO 2005-US5953 W 20050224 os. CASREACT 143:267122; MARPAT 143:267122 GΙ

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AB A process is provided for the semi-synthesis of taxane intermediates I [R = H, O-protecting group] and aziridine analogs II of cephalomannine and intermediates of baccatin III, and the conversion of such intermediates and analogs to paclitaxel and docetaxel. One process comprises: (a) conversion of the side chain of cephalomannine to an aziridine; (b) hydrolysis of the side chain. Another process comprises: (a) preparation of N-tosyl-3-phenylaziridine-3-carbonyl chloride or 2-acetoxy-3-phenyl-3-(tosylamino)propionyl chloride from cinnamoyl chloride; (b) acylation of 7-0-protected baccatin III by the carbonyl chlorides; and (c) acetolysis followed by hydrolysis of the former or hydrolysis of the latter intermediate. A third process

comprises: (a) epoxidn. of the side chain of cephalomannine; (b) azidolysis of the side chain epoxide; (c) hydrolysi of the side chain.

L7 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:963841 CAPLUS <<LOGINID::20080913>>

DN 143:248536

TI Semi-synthesis of taxane intermediates and aziridine analogues and their conversion to paclitaxel and docetaxel

IN Naidu, Ragina

PA Phytogen Life Sciences Inc., Can.

SO U.S. Pat. Appl. Publ., 22 pp. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

| | PATENT | | | | | | DATE | | | | ICAT | | | | - | ATE | | |
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| PI | US 200 | 50192 | 445 | | A1 | | 2005 | 0901 | | US 2 | 004- | 7906 | 22 | | 2 | 0040 | 301 | |
| | CA 259 | | | | | | | | | | | | | | | | | |
| | WO 200 | | | | | | | | | | | | | | | | | |
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| | RW | : BW, | GH, | GM, | KE, | LS, | MW, | ΜZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | |
| | | AZ, | BY, | KG, | ΚZ, | MD, | RU, | ΤJ, | TM, | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | |
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| | | MR, | NE, | SN, | TD, | TG | | | | | | | | | | | | |
| | EP 173 | 2911 | | | A2 | | 2006 | 1220 | | EP 2 | 005- | 7237 | 08 | | 2 | 0050 | 224 | |
| | R: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | |
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| | WO 200 | 5-US5 | 953 | | W | | 2005 | 0224 | | | | | | | | | | |
| OS GI | CASREA | | | | | | | | 536 | | | | | | | | | |

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- AB A process is provided for the semi-synthesis of taxane intermediates and aziridine analogs (e.g. formula I [R = H, protecting group]) of cephalomannine and baccatin III intermediates, and the conversion of such intermediates and analogs to paclitaxel and docetaxel (no data).
- ANSWER 6 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2002:256023 CAPLUS <<LOGINID::20080913>>
- DN 136:299699
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- Sonus Pharmaceuticals, Inc., USA PA
- PCT Int. Appl., 74 pp. SO
- CODEN: PIXXD2
- DT Patent
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| | PAT | TENT : | .00 | | | KIN | D | DATE | | | APPL | ICAT | ION : | NO. | | D | ATE | |
| | | | | | | | - | | | | | | | | | - | | |
| PI | WO | 2002 | 0262 | 08 | | A2 | | 2002 | 0404 | | WO 2 | 001- | US30 | 471 | | 2 | 00109 | 927 |
| | WO | 2002 | 0262 | 8 0 | | A3 | | 2003 | 0123 | | | | | | | | | |
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| | | | US, | UZ, | VN, | YU, | ZA, | ZW | | | | | | | | | | |
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| | | | DE, | DK, | ES, | FI, | FR, | GB, | GR, | ΙE, | IT, | LU, | MC, | NL, | PT, | SE, | TR, | BF, |
| | | | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG | |
| | ΑU | 2001 | 0931 | 77 | | A | | 2002 | 0408 | | AU 2 | 001- | 9317 | 7 | | 2 | 00109 | 927 |
| PRAI | US | 2000 | -670 | 627 | | A1 | | 2000 | 0927 | | | | | | | | | |
| | WO | 2001 | -US3 | 0471 | | W | | 2001 | 0927 | | | | | | | | | |

AB Pharmaceutical compns. contain one or more therapeutics or chemotherapeutics, one or more tocols as a solvent, a surfactant, and optionally a co-solvent. An example was given in which paclitaxel was solubilized with α -tocopherol.

1 ANSWERS

=> d L1 HAS NO ANSWERS L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 11 ful FULL SEARCH INITIATED 11:49:22 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 86 TO ITERATE

100.0% PROCESSED 86 ITERATIONS

L2 1 SEA SSS FUL L1

=> d

L2 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN

RN 863203-44-5 REGISTRY

SEARCH TIME: 00.00.01

ED Entered STN: 15 Sep 2005

CN Benzenepropanoic acid, β -[[[2,3-dimethyl-1-[(4-methylphenyl)sulfonyl]-2-aziridinyl]carbonyl]aminol- α -hydroxy-, (2aR, 4S, 4aS, 6R, 9S, 118, 12S, 12AR, 12bS)-6, 12b-bis (acetyloxy)-12-(benzoyloxy)-2a, 3, 4, 4a, 5, 6, 9, 10, 11, 12, 12a, 12b-dodecahydro-4, 11-dihydroxy-4a, 8, 13, 13-tetramethyl-5-oxo-7, 11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-ylester, (α R, β S)- (CA INDEX NAME)

FS STEREOSEARCH

MF C52 H60 N2 O16 S

SR CA LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry.

- 2 REFERENCES IN FILE CA (1907 TO DATE)
- 2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
- 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 180.36 180.57

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FILE COVERS 1907 - 13 Sep 2008 VOL 149 ISS 12 FILE LAST UPDATED: 12 Sep 2008 (20080912/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> s 12

1.3 2 1.2

- => d 1-2 bib abs hitstr L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN AN 2005:979631 CAPLUS
- DN 143:267122
- ΤI Semi-synthesis of taxane intermediates and aziridine analogs and their conversion to paclitaxel and docetaxel
- IN Naidu, Ragina
- PA Phytogen Life Sciences Inc., Can.; Phytogen Inc.
- SO PCT Int. Appl., 37 pp. CODEN: PIXXD2
- Patent
- English LA

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---------------|------|----------|-----------------|----------|
| | | | | | |
| PI | WO 2005082875 | A2 | 20050909 | WO 2005-US5953 | 20050224 |

Me NH OR Me Me H H H H BZO ACO O

I:

AB A process is provided for the semi-synthesis of taxane intermediates I [R = H, O-protecting group] and aziridine analogs II of cephalomannine and intermediates of baccatin III, and the conversion of such intermediates

and analogs to paclitaxel and docetaxel. One process comprises: (a) conversion of the side chain of cephalomannine to an aziridine; (b) hydrolysis of the side chain. Another process comprises: (a) preparation of N-tosyl-3-phenyl-aziridine-3-carbonyl chloride or 2-acetoxy-3-phenyl-3-(tosylamino)propionyl chloride from cinnamyl chloride; (b) acylation of 7-0-protected baccatin III by the carbonyl chlorides; and (c) acetolysis followed by hydrolysis of the former or hydrolysis of the latter intermediate. A third process comprises: (a) epoxidn. of the side chain of cephalomannine; (b) azidolysis of the side chain epoxide; (c) hydrolysi of the side chain.

IT 863203-44-5DP, 7,2'-O-derivs.

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and basic hydrolysis of; semi-synthesis of taxane intermediates and aziridine analogs and their conversion to paclitaxel and docetaxel)

RN 863203-44-5 CAPLUS
CN Benzenepropanoic acid, B-[[[

Benzenepropanoic acid, $\beta = [[[2,3-dimethyl-1-[(4-methylphenyl)sulfonyl]-2-aziridinyl]carbonyl]amino]-\alpha-hydroxy-, (2aR, 48, 485, 6R, 98, 118, 128, 12aR, 12b8)-6, 12b-bis (acetyloxy)-12-(benzoyloxy)-2a, 3, 4, 4a, 5, 6, 9, 10, 11, 12, 12a, 12b-ddecahydro-4, 11-dihydroxy-4a, 8, 13, 13-tetramethyl-5-oxo-7, 11-methano-1H-cyclodeca[3, 4]benz[1, 2-b]oxet-9-ylester, (aR, <math>\beta$ S)- (CA INDEX NAME)

Absolute stereochemistry.

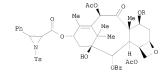
- L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2005:963841 CAPLUS

Naidu, Ragina

- DN 143:248536
- TI Semi-synthesis of taxane intermediates and aziridine analogues and their conversion to paclitaxel and docetaxel
- PA Phytogen Life Sciences Inc., Can.
- SO U.S. Pat. Appl. Publ., 22 pp. CODEN: USXXCO
- DT Patent
- LA English

FAN.CNT 2

| | PATENT NO. | | | | | | | DATE | | | APPL | | | | | | ATE | | |
|------|------------|--------------|-------------|------|------|----------|------|--------------|--------------|-----|--------------|--------------|--------------|-----------|-----|-----|------|------------|-------|
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| | WO | 2005 | | | | | | | | | WO 2 | | | | | | | | |
| | | W: | ΑE, | AG, | AL, | AM, | ΑT, | ΑU, | ΑZ, | BA, | BB, | ВG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
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| | | | | | | | | | | | UG, | | | | | | | | z_w |
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| | | | ΑZ, | BY, | KG, | ΚZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | |
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| | | | | | | TD, | | | | | | | | | | | | | |
| | EP | 1732 | | | | | | | | | | | | | | | | | |
| | | R: | | | | | | | | | EE, | | | | | | HU, | ΙE, | |
| | | | | | LI, | LT, | LU, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR | | | |
| | | 1942 | | | | A | | | | | CN 2 | | | | | | | | |
| | | 2007 | | | | | | | | | US 2 | | | | | | | | |
| | | 2008 | | | | | | | | | US 2 | 007- | 5906 | 47 | | 2 | 0070 | 720 | |
| PRAI | | 2004 | | | | | | 2004 | | | | | | | | | | | |
| | | 2004 | | | | | | 2004 | | | | | | | | | | | |
| | | 2005 | | | | | | | | | | | | | | | | | |
| os | CA: | SREAC | T 14 | 3:24 | 8536 | ; MA | RPAT | 143 | :248 | 536 | | | | | | | | | |
| GI | | | | | | | | | | | | | | | | | | | |



AB A process is provided for the semi-synthesis of taxane intermediates and aziridine analogs (e.g. formula I [R = H, protecting group]) of cephalomannine and baccatin III intermediates, and the conversion of such intermediates and analogs to paclitaxel and docetaxel (no data).

II 863203-44-5DP protected

Ι

IT 863203-44-5DF, protected RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(semi-synthesis of taxane intermediates and aziridine analogs and their conversion to paclitaxel and docetaxel)

863203-44-5 CAPLUS Benzenepropanoic acid, β -[[[2,3-dimethyl-1-[(4-methylphenyl)sulfonyl]-

RN

CN

2-aziridiny1]carbony1]amino]-a-hydroxy-, (2aR, 48, 485, 6R, 98, 118, 128, 12aR, 12bS)-6, 12b-bis (acetyloxy)-12-(benzoyloxy)-2a, 3, 4, 4a, 5, 6, 9, 10, 11, 12, 12a, 12b-dodecahydro-4, 11-dihydroxy-4a, 8, 13, 13-tetramethy1-5-oxo-7, 11-methano-1H-cyclodeca[3, 4]benz[1, 2-b]oxet-9-yl

ester, (aR, BS) - (CA INDEX NAME)

Absolute stereochemistry.

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STRUCTURE FILE UPDATES: 12 SEP 2008 HIGHEST RN 1049105-01-2 DICTIONARY FILE UPDATES: 12 SEP 2008 HIGHEST RN 1049105-01-2

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chain nodes :
12 13 20 21 22 23 24 25 26 27 28 29 30 31
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 14 15 16 17 18 19 32 33 34
chain bonds :
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ring bonds :
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exact/norm bonds :
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exact bonds :
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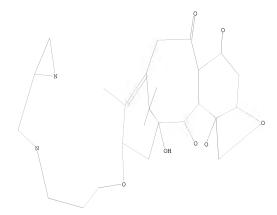
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Structure attributes must be viewed using STN Express query preparation.

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FULL SCREEN SEARCH COMPLETED -
                                     48 TO ITERATE
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100.0% PROCESSED 48 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

1.5 1 SEA SSS FUL L4

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- L5 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN
- 863203-44-5 REGISTRY RN
- ED Entered STN: 15 Sep 2005
- Benzenepropanoic acid, β-[[[2,3-dimethyl-1-[(4-methylphenyl)sulfonyl]-CN 2-aziridinyl]carbonyl]amino]-α-hydroxy-, (2aR, 4S, 4aS, 6R, 9S, 11S, 12S, 12aR, 12bS) -6, 12b-bis (acetyloxy) -12-(benzoyloxy) -2a, 3, 4, 4a, 5, 6, 9, 10, 11, 12, 12a, 12b-dodecahydro-4, 11-dihydroxy-4a, 8, 13, 13tetramethy1-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-y1

ester, (αR, βS)- (CA INDEX NAME)

FS STEREOSEARCH

MF C52 H60 N2 O16 S

SR CA

LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry.

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil caplus COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 180.82 373.25 DISCOUNT AMOUNTS (FOR OUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE 0.00 -1.60

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FILE COVERS 1907 - 13 Sep 2008 VOL 149 ISS 12 FILE LAST UPDATED: 12 Sep 2008 (20080912/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> s 15 L6 2 L5

=> d 1-2 bib abs

- L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2005:979631 CAPLUS
- DN 143:267122
- TI Semi-synthesis of taxane intermediates and aziridine analogs and their conversion to paclitaxel and docetaxel
- IN Naidu, Ragina
- PA Phytogen Life Sciences Inc., Can.; Phytogen Inc.
- SO PCT Int. Appl., 37 pp. CODEN: PIXXD2
- DT Patent
- LA English

FAN CNT 2

| PAN. | PA: | rent : | | | | | | | | | | ICAT | | | | | ATE | | |
|------|-----|--------|------|------|------|------|------|------|------|-----|------|------|------|-----|-----|-----|------|-----|----|
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| | | | LK. | LR. | LS. | LT. | LU. | LV. | MA. | MD. | MG. | MK, | MN. | MW. | MX. | MZ. | NA. | NI. | |
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| | | 2598 | | | | | | | | | | | | | | | | | |
| | EP | 1732 | 911 | | | A2 | | 2006 | 1220 | | EP 2 | 005- | 7237 | 08 | | 2 | 0050 | 224 | |
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| | | 2004 | | | | | | | | | | | | | | | | | |
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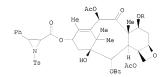
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II

- AB A process is provided for the semi-synthesis of taxane intermediates I [R = H, O-protecting group] and aziridine analogs II of cephalomannine and intermediates of baccatin III , and the conversion of such intermediates and analogs to paclitaxel and docetaxel. One process comprises: (a) conversion of the side chain of cephalomannine to an aziridine; (b) hydrolysis of the side chain. Another process comprises: (a) preparation of N-tosyl-3-phenylaziridine-3-carbonyl chloride or 2-acetoxy-3-phenyl-3-(tosylamino) propionyl chloride from cinnamoyl chloride; (b) acylation of 7-0-protected baccatin III by the carbonyl chlorides; and (c) acetolysis followed by hydrolysis of the former or hydrolysis of the latter intermediate. A third process comprises: (a) epoxidn. of the side chain of cephalomannine; (b) azidolysis of the side chain epoxide; (c) hydrolysi of the side chain.
- ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN L6
- AN 2005:963841 CAPLUS
- 143:248536 DN
- ΤI Semi-synthesis of taxane intermediates and aziridine analogues and their conversion to paclitaxel and docetaxel
- IN Naidu, Ragina
- PΑ Phytogen Life Sciences Inc., Can.
- SO U.S. Pat. Appl. Publ., 22 pp.
- CODEN: USXXCO Patent
- LA
- English
- FAN.CNT 2

PATENT NO. KIND DATE APPLICATION NO. DATE

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     WO 2005-US5953
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                                20050224
     CASREACT 143:248536; MARPAT 143:248536
GT
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AB A process is provided for the semi-synthesis of taxane intermediates and aziridine analogs (e.g. formula I [R = H, protecting group]) of cephalomannine and baccatin III intermediates, and the conversion of such intermediates and analogs to paclitaxel and docetaxel (no data).

=> s taxane and aziridine 3442 TAXANE 9474 AZIRIDINE L7 6 TAXANE AND AZIRIDINE

=> d 1-6 bib abs hitstr

L7 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:1053651 CAPLUS

DN 147:378345

TI Anticancer activity augmentation dithio compounds, formulations, and

methods of use

- IN Hausheer, Frederick H.
- PA Bionumerik Pharmaceuticals, Inc., USA
- SO U.S. Pat. Appl. Publ., 35pp. CODEN: USXXCO
- DT Patent
- LA English FAN.CNT 1

| | PATENT | NO. | | KIN | D | DATE | | | APPL | ICAT | ION | NO. | | D | ATE | |
|------|--------------------|-------|--------------------|----------|-----|------|-----|-----|------|--------------|-----|-----|-----|-----|------|-----|
| PΙ | US 2007 WO 2007 | | | A1 A2 | | 2007 | | | | 007- 007- | | | | | 0070 | |
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| | | | SC, SD, JG, US, | | | | | | | SY, | TJ, | TM, | TN, | TR, | TT, | TZ, |
| | RW: | | E, BG, | | | | | | | | | | | | | |
| | | BJ, C | CF, CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, |
| DDAT | 110 2006 | BY, K | G, KZ, | MD, | RU, | TJ, | TM | 20, | 20, | 24, | , | 00, | | , | | , |

PRAI US 2006-782826P P 20060316

AB The field of the invention comprises pharmaceuticals and pharmaceutical treatments, including e.g. (i) compds. and formulations which cause the augmentation of anticancer activity (i.e., by enhancement of the lethal cytotoxic action in stimulatory [inducing oxidative stress] and/or depletive [decreasing antioxidative capacity] manner) of chemotherapeutic agents, in a selective manner; (ii) methods for administering the anticancer augmentation compds. and formulations; (iii) delivery devices containing the anti-cancer augmentation compds. and formulations; and (iv) methods for using the anticancer augmentation compds. formulations, and devices to treat subjects in need thereof. Compds. of the invention include dithic compds.

- ANSWER 2 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2006:1357176 CAPLUS
- DN 146:100684
- TI Pyrazole derivatives as protein kinase modulators, their preparation, pharmaceutical compositions, and use in therapy
- IN Thompson, Neil Thomas; Boyle, Robert George; Collins, Ian; Garrett, Michelle Dawn; Lyons, John Francis; Thompson, Kyla Merriom
- PA Astex Therapeutics Limited, UK; The Institute of Cancer ResearchRoyal Cancer Hospital; Cancer Research Technology Limited
- SO PCT Int. Appl., 226pp.
- CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---------------|------|----------|-----------------|----------|
| | | | | | |
| PΙ | WO 2006136837 | A2 | 20061228 | WO 2006-GB2297 | 20060621 |
| | WO 2006136837 | A3 | 20070215 | | |

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os
    MARPAT 146:100684
GI
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- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AR The invention relates to pyrazole derivs. of formula I, which are modulators of protein kinase B (PKB) and protein kinase A (PKA). In compds. I, L is C1-7 alkylene containing no more than 5 carbon atoms between R1 and NR2R3 and no more than 4 carbon atoms between E and NR2R3, where one of the carbon atoms may be replaced by O or N; E is mono- or bicyclic carbocyclyl or heterocyclyl; R1 is aryl or heteroaryl; R2 and R3 are independently selected from H, (un) substituted C1-4 alkyl, and (un) substituted C1-4 acyl, or R2 and R3, together with the nitrogen atom to which they are attached, form a cyclic group selected from imidazole and 4- to 7-membered monocyclic heterocyclyl, optionally containing another heteroatom selected from O and N, or NR2R3 and the adjacent carbon atom from L together form a cvano group; R4 is selected from H, halo, cvano, CF3, C1-5 alkyl, and C1-5 alkoxy; and R5 is selected from H, halo, cyano, amino, CF3, C1-5 alkyl, C1-5 alkoxy, (un)substituted carbamoyl, acylamino, and ureido. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound of formula I and a pharmaceutically acceptable carrier, as well as to the use of the compns. for the treatment or prophylaxis of diseases or conditions mediated by PKB or PKA. Also provided are patient packs, pharmaceutical kits and packs and compns. containing the combinations, methods for preparing the combinations and their use

in combination therapy as anticancer agents. Addition of 4-chlorophenylmagnesium bromide to 4-bromobenzaldehyde followed by coupling with N-(2-hydroxyethyl)phthalimide gave benzhydryl ether II, which underwent Suzuki coupling with 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-IH-pyrazole and cleavage to give amine III. Several compds. of the invention express IC50 values of less than 1 $\mu \rm M$ to PKA or PKB, or both, e.g., III.

L7 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

```
ΤI
    GLP-1 and exendin derivatives for disease diagnosis and treatment
    Gotthardt, Martin; Behe, Martin; Behr, Thomas; Goeke, Burkhard J.
PA
    Transmit Gesellschaft fuer Technologietransfer m.b.H., Germany
     Ger. Offen., 17 pp.
     CODEN: GWXXBX
     Patent
T.A
     German
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                    DATE
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     DE 102004043153
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                                20060323
                                            DE 2004-102004043153
                                                                    20040903
     AU 2005279537
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PRAI DE 2004-102004043153 A
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     WO 2005-DE1503
                               20050826
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GLP-1 (glucagon-like peptide 1) and exendin-3 and/or exendin-4 derivs. are disclosed which may be used for disease diagnosis and treatment. The peptides, or fragments thereof, are conjugated to an amine-containing compound at the C-terminus, e.g., lysine or ornithine. The amine function is used to attach a desired functional molety, e.g., a metal chelator, a fluorophore, a pharmaceutical. The C-terminal conjugation does not inhibit binding of the GLP-1 or exendins to their receptors. Thus, a complex of InIII with 7-36-GLP-1-Lys(DTPA)NH2, i.e., residues 7-36 of human GLP-1 with L-1ysinamide attached to the C-terminus and the chelator DTPA attached to the s-amino group of lysine, was prepared This complex localized to GLP-1 receptor-bearing tumors in mice.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

2006:275458 CAPLUS

144:325290

AN

AB

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AN 2005:979631 CAPLUS
DN 143:267122
    Semi-synthesis of taxane intermediates and aziridine
    analogs and their conversion to paclitaxel and docetaxel
IN
    Naidu, Ragina
    Phytogen Life Sciences Inc., Can.; Phytogen Inc.
SO
    PCT Int. Appl., 37 pp.
    CODEN: PIXXD2
    Patent
LA
    English
FAN.CNT 2
    PATENT NO.
                      KIND DATE APPLICATION NO.
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    WO 2005082875
                      A2 20050909 WO 2005-US5953
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                       A2
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                            20040301
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    CASREACT 143:267122; MARPAT 143:267122
GI
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Ι

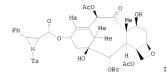
II

- AB A process is provided for the semi-synthesis of taxane intermediates I [R = H, O-protecting group] and aziridine analogs II of cephalomannine and intermediates of baccatin III, and the conversion of such intermediates and analogs to paclitaxel and docetaxel. One process comprises: (a) conversion of the side chain of cephalomannine to an aziridine; (b) hydrolysis of the side chain. Another process comprises: (a) preparation of N-tosyl-3-phenylaziridine-3-carbonyl chloride or 2-acetoxy-3-phenyl-3-(tosylamino)propionyl chloride from cinnamoyl chloride; (b) acylation of 7-O-protected baccatin III by the carbonyl chlorides; and (c) acetolysis followed by hydrolysis of the former or hydrolysis of the latter intermediate. A third process comprises: (a) epoxidn. of the side chain of cephalomannine; (b) azidolysis of the side chain epoxide; (c) hydrolysi of the side chain.
- L7 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2005:963841 CAPLUS
- DN 143:248536
- TI Semi-synthesis of taxane intermediates and aziridine analogues and their conversion to paclitaxel and docetaxel
- IN Naidu, Ragina
- PA Phytogen Life Sciences Inc., Can.
- SO U.S. Pat. Appl. Publ., 22 pp.
- CODEN: USXXCO
- DT Patent
- LA English

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| PI | US 20050192445 | A1 | 20050901 | US 2004-790622 | 20040301 |

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CA 2598707
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     WO 2005-US5953
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     CASREACT 143:248536; MARPAT 143:248536
```



- AB A process is provided for the semi-synthesis of taxane intermediates and aziridine analogs (e.g. formula I [R = H, protecting group]) of cephalomannine and baccatin III intermediates, and the conversion of such intermediates and analogs to paclitaxel and docetaxel (no data).
- L7 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2002:256023 CAPLUS
- DN 136:299699
- TI Emulsion vehicle for poorly soluble drugs
- IN Constantinides, Panayiotis P.; Lambert, Karel J.; Tustian, Alexander K.; Nienstedt, Andrew M.; Hartgraves, Greg A.
- PA Sonus Pharmaceuticals, Inc., USA
- SO PCT Int. Appl., 74 pp.
- CODEN: PIXXD2
- DT Patent

LA English

| E MIA. | PATENT NO. | | | | | MANUE DAME | | | ADDITORMION NO | | | | | | | | | | |
|--------|------------|------|------|------|-----|------------|-----------|------|----------------|-----------------|------|------|------|-----|-----|------|------|-----|--|
| | PA | | NO. | | | KIN | KIND DATE | | | APPLICATION NO. | | | | | | DATE | | | |
| PI | WO | 2002 | | | | A2 | _ | 2002 | 0404 | | WO 2 | 001- | US30 | 471 | | | 0010 | | |
| | WO | 2002 | 0262 | 08 | | A3 | | 2003 | 0123 | | | | | | | | | | |
| | | W: | | | | | | AU, | | | | | | | | | | | |
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| | | | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG | | |
| | AU | 2001 | 0931 | 77 | | A | | 2002 | 0408 | | AU 2 | 001- | 9317 | 7 | | 2 | 0010 | 927 | |
| PRAI | US | 2000 | -670 | 627 | | A1 | | 2000 | 0927 | | | | | | | | | | |
| | WO | 2001 | -US3 | 0471 | | W | | 2001 | 0927 | | | | | | | | | | |
| | | | | | | | | | | | | | | | | | | | |

AB Pharmaceutical compns. contain one or more therapeutics or chemotherapeutics, one or more tocols as a solvent, a surfactant, and optionally a co-solvent. An example was given in which paclitaxel was solubilized with a-tocopherol.

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Executing the logoff script...

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SESSION WILL BE HELD FOR 120 MINUTES

STN INTERNATIONAL SESSION SUSPENDED AT 11:59:15 ON 13 SEP 2008

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

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PASSMODD.

* * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * * * SESSION RESUMED IN FILE 'CAPLUS' AT 12:02:46 ON 13 SEP 2008 FILE 'CAPLUS' ENTERED AT 12:02:46 ON 13 SEP 2008 COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

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http://www.cas.org/support/stngen/stndoc/properties.html

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ring nodes:

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chain bonds:

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ring bonds:

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exact/norm bonds:

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exact bonds:

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L9 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN

RN 863203-37-6 REGISTRY ED Entered STN: 15 Sep 2005 CN 2-Aziridinecarbonyl chloride, 1-[(4-methylphenyl)sulfonyl]-3-phenyl- (CA INDEX NAME)

MF C16 H14 C1 N O3 S

SR CA

LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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FILE COVERS 1907 - 13 Sep 2008 VOL 149 ISS 12

FILE LAST UPDATED: 12 Sep 2008 (20080912/ED)

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L10 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:979631 CAPLUS

DN 143:267122

TI Semi-synthesis of taxane intermediates and aziridine analogs and their conversion to paclitaxel and docetaxel

IN Naidu, Ragina

PA Phytogen Life Sciences Inc., Can.; Phytogen Inc.

O PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DT Patent LA English

FAN CNT 2

| | | | | KIND DATE | | | APPLICATION NO. | | | | | | | | | | | | |
|----------|-----|-------|-------|-----------|------|-------|-----------------|------|------|-----|----------------|------|------|-----|----------|-----|------|-----|----|
| PI | WO | 2005 | 0828 | 75 | | | | | | | | | | | | 2 | 0050 | 224 | |
| | | W: | AE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | | CN. | co. | CR. | CU, | CZ, | DE, | DK. | DM, | DZ, | EC. | EE. | EG, | ES. | FI. | GB, | GD, | |
| | | | | | | | | ID, | | | | | | | | | | | |
| | | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI, | |
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| | | | SY, | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW |
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| | | | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | |
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| | | 2005 | | | | | | | | | | | | | | | | | |
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II

- AB A process is provided for the semi-synthesis of taxane intermediates I [R = H, O-protecting group] and aziridine analogs II of cephalomannine and intermediates of baccatin III, and the conversion of such intermediates and analogs to paclitaxel and docetaxel. One process comprises: (a) conversion of the side chain of cephalomannine to an aziridine; (b) hydrolysis of the side chain. Another process comprises: (a) preparation of N-tosyl-3-phenylaziridine-3-carbonyl chloride or 2-acetoxy-3-phenyl-3- (tosylamino)propionyl chloride from cinnamoyl chloride; (b) acylation of 7-O-protected baccatin III by the carbonyl chlorides; and (c) acetolysis followed by hydrolysis of the former or hydrolysis of the latter intermediate. A third process comprises: (a) epoxidin. of the side chain of cephalomannine; (b) azidolysis of the side chain epoxide; (c) hydrolysi of the side chain.
- L10 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2005:963841 CAPLUS
- DN 143:248536
- TI Semi-synthesis of taxane intermediates and aziridine analogues and their conversion to paclitaxel and docetaxel
- IN Naidu, Ragina PA Phytogen Life
- PA Phytogen Life Sciences Inc., Can.
 - O U.S. Pat. Appl. Publ., 22 pp. CODEN: USXXCO
- DT Patent
- LA English
- FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| | | | | | |
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| | CA 2598707 | A1 | 20050909 | CA 2005-2598707 | 20050224 |

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                        A2 20050909
                                         WO 2005-US5953
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    WO 2005-US5953
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OS
    CASREACT 143:248536; MARPAT 143:248536
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AB A process is provided for the semi-synthesis of taxane intermediates and aziridine analogs (e.g. formula I [R = H, protecting group]) of cephalomannine and baccatin III intermediates, and the conversion of such intermediates and analogs to paclitaxel and docetaxel (no data).

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